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(54) **CHARGED COMPOUNDS COMPRISING A NUCLEIC ACID BINDING MOIETY AND USES THEREFOR**

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See application file for complete search history.

(56) **References Cited****U.S. PATENT DOCUMENTS**

4,738,980 A	4/1988	Arcamone et al.
4,766,142 A	8/1988	Arcamone et al.
4,912,199 A	3/1990	Lown et al.
5,017,599 A	5/1991	Lazzari et al.
5,049,579 A	9/1991	Lazzari et al.
5,310,752 A	5/1994	Lazzari et al.
5,472,976 A	12/1995	Animati et al.
5,502,068 A	3/1996	Lown et al.
5,616,606 A	4/1997	Lown et al.
5,670,534 A	9/1997	Animati et al.
5,698,674 A	12/1997	Bruice et al.
5,753,629 A	5/1998	Beria et al.
5,801,155 A	9/1998	Kutyavin et al.
5,852,011 A	12/1998	Matsunaga et al.
6,090,947 A *	7/2000	Dervan et al. 548/312.4
6,555,693 B1 *	4/2003	Ge et al. 544/368

FOREIGN PATENT DOCUMENTS

GB	2310207	2/1996
WO	WO 92/13838	8/1992
WO	WO 93/13739	7/1993
WO	WO 94/20463	9/1994
WO	98/50582	7/1997
WO	WO 98/37066	8/1998
WO	WO 98/37067	8/1998
WO	WO 98/37087	8/1998
WO	WO 98/45284	10/1998
WO	WO 98/49142	11/1998
WO	WO 98/52614	11/1998
WO	WO 99/27939	6/1999
WO	WO 99/41367	8/1999
WO	WO 99/50265	10/1999
WO	99/62890	12/1999
WO	WO 99/64413	12/1999
WO	WO 00/40605	7/2000

OTHER PUBLICATIONS

Ae NimPae et al., "Synthesis and In Vitro Activity of New Oxazolidinone Antibacterial Agents Having Substituted Isoxazoles", *Bioorganic & Medicinal Chemistry Letters* 9 (1999) 1679-2684.

Chu-Biao Xue et al, "Synthesis and Antiplatelet Effects of An Isoxazole Series of Glycoprotein IIb/IIIa Antagonists", *Bioorganic & Medicinal Chemistry Letters*, Letters 8 (1998) 3499-3504.

D. Chilarino et al., "Synthesis of New Isoxazole Aminoalcohols", *J. Heterocyclic Chem.* 25:(1) pp. 337-342 (1988) XP 002041517.

Steven P. Tanis and David B. Head, "Furans In Synthesis. The Preparation of (+)-Lactaral", *Tetrahedron Letters*, 23:(52) pp. 5509-5512 (1982) XP002208603.

Pier Giovanni Beraldi et al., "Synthesis of 3-Substituted-7-alkoxy-5H-pyrazolo '4, 3-dl-1,2,3-triazin-4(3H)-ones" *Synthesis*, pp. 1437-1440, (1994), XP002208604.

Thomas C. Bruice et al., "Rational design of substituted tripyrrole peptides that complex with DNA by both selective minor-groove binding and electrostatic interaction with the phosphate backbone", *Proc. Natl. Acad. Sci.* 89: pp. 1700-1704 (Mar. 1992).

(Continued)

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(57) **ABSTRACT**

Charged compounds are provided that comprise one or more regions of localized positive charge, compositions comprising such compounds, methods of synthesizing such compounds, methods of screening such compounds to identify those having anti-infective activity, and methods of using such compounds to prevent or inhibit infections. These compounds, and compositions containing them, have multiple applications, including use in human and animal medicine and in agriculture.

12 Claims, No Drawings